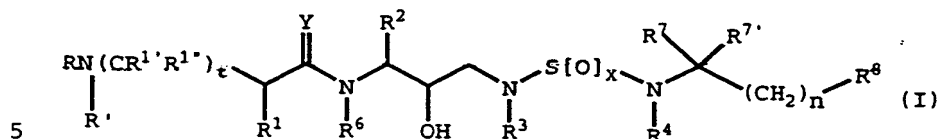


WHAT IS CLAIMED IS:

1. A compound represented by the formula:



or a pharmaceutically acceptable salt, prodrug or ester thereof wherein:

- 10 R represents hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, aralkyl, alkoxy carbonyl, alkoxy carbonyl, aryloxyalkyl, heteroaryloxyalkyl, aralkoxy carbonyl, alkyl carbonyl, cycloalkyl carbonyl, cycloalkyl alkoxy carbonyl, cycloalkyl alkanoyl, alkanoyl, aralkanoyl, aroyl, aryloxy carbonyl, aryloxy carbonyl alkyl, 15 aryloxy alkanoyl, heterocyclyl carbonyl, heterocyclyl alkoxy carbonyl, heterocyclyl alkanoyl, heterocyclyl alkoxy carbonyl, heteroaralkanoyl, heteroaralkoxy carbonyl, heteroaryloxy carbonyl, 20 heteroaroyl, hydroxyalkyl, aminocarbonyl, amino alkanoyl, and mono- and disubstituted aminocarbonyl and mono- and disubstituted amino alkanoyl radicals wherein the substituents are selected from alkyl, aryl, aralkyl, cycloalkyl, cycloalkyl alkyl, heteroaryl, heteroaralkyl, 25 heterocycloalkyl, heterocycloalkyl radicals, or wherein said aminocarbonyl and amino alkanoyl radicals are disubstituted, said substituents along with the nitrogen atom to which they are attached form a heterocycloalkyl or heteroaryl radical; 30
- R' represents hydrogen, radicals as defined for R³ or R³SO₂- wherein R³ represents radicals as defined for R³; or R and R' together with the nitrogen to which they are

attached represent heterocycloalkyl and heteroaryl radicals;

5 R¹ represents hydrogen, -CH₂SO₂NH₂, -CH₂CO₂CH₃, -CO₂CH₃,
-CONH₂, -CH₂C(O)NHCH₃, -C(CH₃)₂(SH), -C(CH₃)₂(SCH₃),
-C(CH₃)₂(S(O)CH₃), -C(CH₃)₂(S(O)₂CH₃), alkyl, haloalkyl,
10 alkenyl, alkynyl and cycloalkyl radicals, and amino acid
side chains selected from asparagine, S-methyl cysteine
and methionine and the sulfoxide (SO) and sulfone (SO₂)
derivatives thereof, isoleucine, allo-isoleucine,
alanine, leucine, tert-leucine, phenylalanine, ornithine,
histidine, norleucine, glutamine, threonine, glycine,
allo-threonine, serine, O-alkyl serine, aspartic acid,
beta-cyanoalanine and valine side chains;

15 R^{1'} and R^{1''} independently represent hydrogen and radicals
as defined for R¹, or one of R^{1'} and R^{1''}, together with
R¹ and the carbon atoms to which R¹, R^{1'} and R^{1''} are
attached, represent a cycloalkyl radical;

20 R² represents alkyl, aryl, cycloalkyl, cycloalkylalkyl
and aralkyl radicals, which radicals are optionally
substituted with a group selected from alkyl and halogen
radicals, -NO₂, -CN, -CF₃, -OR⁹ and -SR⁹, wherein R⁹
25 represents hydrogen and alkyl radicals, and halogen
radicals;

R³ represents alkyl, haloalkyl, alkenyl, alkynyl,
hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl,
30 heterocycloalkyl, heteroaryl, heterocycloalkylalkyl,
aryl, aralkyl, heteroaralkyl, aminoalkyl and mono- and
disubstituted aminoalkyl radicals, wherein said
substituents are selected from alkyl, aryl, aralkyl,
cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl,
35 heterocycloalkyl, and heterocycloalkylalkyl radicals, or
in the case of a disubstituted aminoalkyl radical, said

substituents along with the nitrogen atom to which they are attached, form a heterocycloalkyl or a heteroaryl radical, and thioalkyl, alkylthioalkyl and arylthioalkyl radicals and the sulfone and sulfoxide derivatives thereof;

R⁴ represents hydrogen and radicals as defined by R³;

R⁶ represents hydrogen and alkyl radicals;

R⁷ and R^{7'} independently represent hydrogen and radicals as defined for R³; amino acid side chains selected from the group consisting of valine, isoleucine, glycine, alanine, allo-isoleucine, asparagine, leucine, glutamine, and t-butylglycine; radicals represented by the formulas -C(O)R¹⁶, -CO₂R¹⁶, -SO₂R¹⁶, -SR¹⁶, -CONR¹⁶R¹⁷, -CF₃ and -NR¹⁶R¹⁷; or R⁷ and R^{7'} together with the carbon atom to which they are attached form a cycloalkyl radical;

R⁸ represents cyano, hydroxyl, alkyl, alkoxy, cycloalkyl, aryl, aralkyl, heterocycloalkyl and heteroaryl radicals and radicals represented by the formulas C(O)R¹⁶, CO₂R¹⁶, SO₂R¹⁶, SR¹⁶, CONR¹⁶R¹⁷, CF₃ and NR¹⁶R¹⁷;

wherein R¹⁶ and R¹⁷ independently represent hydrogen and radicals as defined for R³, or R¹⁶ and R¹⁷ together with a nitrogen to which they are attached in the formula NR¹⁶R¹⁷ represent heterocycloalkyl and heteroaryl radicals;

x represents 1 or 2;

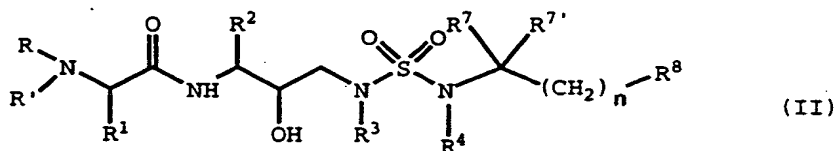
n represents an integer of from 0 to 6;

t represents either 0, 1 or 2; and

Y represents O, S and NR¹⁵ wherein R¹⁵ represents hydrogen and radicals as defined for R³;

2. Compound represented by the formula:

5



wherein:

- 10 R represents hydrogen, alkyl, alkenyl, cycloalkyl, hydroxyalkyl, aryl, aralkyl, aryloxyalkyl, heteroaryloxyalkyl, alkoxyacetyl, alkoxyalkyl, aralkoxyacetyl, alkylacetyl, cycloalkylacetyl, cycloalkylalkoxyacetyl, cycloalkylalkanoyl, alkanoyl, aralkanoyl, aroyl, aryloxyacetyl, aryloxyacetylalkyl, arylalkoxyacetyl, heterocyclylacetyl, heterocyclyloxyacetyl, heterocyclylalkanoyl, heterocyclylalkoxyacetyl, heteroaralkanoyl, heteroaralkoxyacetyl, heteroaryloxy-acetyl, heteroaroyl, aminocarbonyl, aminoalkanoyl, and mono- and disubstituted aminocarbonyl and mono- and disubstituted aminoalkanoyl radicals wherein the substituents are selected from alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl, heterocycloalkyl, heterocycloalkyl radicals, or where said aminoalkanoyl radical is disubstituted, said substituents along with the nitrogen atom to which they are attached form a heterocycloalkyl or heteroaryl radical;
- 30 R' represents hydrogen and radicals as defined for R³ or R and R' together with the nitrogen to which they are

attached represent heterocycloalkyl and heteroaryl radical;

5 R¹ represents hydrogen, -CH₂SO₂NH₂, -CH₂CO₂CH₃, -CO₂CH₃,
-CONH₂, -CH₂C(O)NHCH₃, -C(CH₃)₂(SH), -C(CH₃)₂(SCH₃),
-C(CH₃)₂(S(O)CH₃), -C(CH₃)₂(S(O)₂CH₃), alkyl, haloalkyl,
alkenyl, alkynyl and cycloalkyl radicals, and amino acid
side chains selected from asparagine, S-methyl cysteine
and methionine and the sulfoxide (SO) and sulfone (SO₂)
10 derivatives thereof, isoleucine, allo-isoleucine,
alanine, leucine, tert-leucine, phenylalanine, ornithine,
histidine, norleucine, glutamine, threonine, glycine,
allo-threonine, serine, O-methyl serine, aspartic acid,
beta-cyanoalanine and valine side chains;

15 R² represents alkyl, aryl, cycloalkyl, cycloalkylalkyl
and aralkyl radicals, which radicals are optionally
substituted with a group selected from alkyl and halogen
radicals, -NO₂, -C≡N, CF₃, -OR⁹, -SR⁹, wherein R⁹
20 represents hydrogen and alkyl radicals;

R³ represents alkyl, haloalkyl, alkenyl, alkynyl,
hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl,
heterocycloalkyl, heteroaryl, heterocycloalkylalkyl,
25 aryl, aralkyl, heteroaralkyl, aminoalkyl and mono- and
disubstituted aminoalkyl radicals, wherein said
substituents are selected from alkyl, aryl, aralkyl,
cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl,
heterocycloalkyl, and heterocycloalkylalkyl radicals, or
30 in the case of a disubstituted aminoalkyl radical, said
substituents along with the nitrogen atom to which they
are attached, form a heterocycloalkyl or a heteroaryl
radical, and thioalkyl, alkylthioalkyl and arylthioalkyl
and the sulfone and sulfoxide derivatives thereof;

35 R⁴ represents hydrogen and radicals as defined by R³;

- R⁷ and R^{7'} independently represent radicals as defined for R³ and amino acid side chains selected from the group consisting of valine, isoleucine, glycine, alanine, allo-
5 isoleucine, asparagine, leucine, glutamine, and t-butylglycine or R⁷ and R^{7'} together with the carbon atom to which they are attached form a cycloalkyl radical;
- 10 R⁸ represents cyano, hydroxyl, alkyl, alkoxy, cycloalkyl, aryl, aralkyl, heterocycloalkyl and heteroaryl radicals and radicals represented by the formulas C(O)R¹⁶, CO₂R¹⁶, SO₂R¹⁶, SR¹⁶, CONR¹⁶R¹⁷, CF₃ and NR¹⁶R¹⁷;
- 15 wherein R¹⁶ and R¹⁷ independently represent hydrogen and radicals as defined for R³, or R¹⁶ and R¹⁷ together with a nitrogen to which they are attached in the formula NR¹⁶R¹⁷ represent heterocycloalkyl and heteroaryl radicals;
- 20 n represents an integer of from 0 to 6.

3. Compound of Claim 2 wherein R represents hydrogen, alkyl, alkenyl, cycloalkyl, aryl, aralkyl,
25 alkoxy-carbonyl, aralkoxy-carbonyl, alkyl-carbonyl, cycloalkyl-carbonyl, cycloalkylalkoxy-carbonyl, cycloalkylalkanoyl, alkanoyl, aralkanoyl, aroyl, aryloxy-carbonyl, aryloxy-carbonylalkyl, aryloxyalkanoyl, heterocyclyl-carbonyl, heterocyclyloxy-carbonyl,
30 heterocyclylalkanoyl, heterocyclylalkoxy-carbonyl, heteroaralkanoyl, heteroaralkoxy-carbonyl, heteroaryloxy-carbonyl, heteroaroyl, aryloxyalkyl, heteroaryloxyalkyl, hydroxyalkyl, aminocarbonyl, aminoalkanoyl, and mono- and disubstituted aminocarbonyl and mono- and disubstituted
35 aminoalkanoyl radicals wherein the substituents are selected from alkyl, aryl, aralkyl, cycloalkyl,

cycloalkylalkyl, heteroaryl, heteroaralkyl,
heterocycloalkyl, heterocycloalkylalkyl radicals, or where
said aminoalkanoyl radical is disubstituted, said
substituents along with the nitrogen atom to which they
5 are attached form a heterocycloalkyl or heteroaryl
radical;

R' represents hydrogen and radicals as defined for R³ or
R and R' together with the nitrogen to which they are
10 attached represent heterocycloalkyl and heteroaryl
radical;

R¹ represents CH₂C(O)NHCH₃, C(CH₃)₂(SCH₃),
C(CH₃)₂(S(O)CH₃), C(CH₃)₂(S(O)₂CH₃), alkyl, alkenyl and
15 alkynyl radicals, and amino acid side chains selected
from the group consisting of asparagine, valine,
threonine, allo-threonine, isoleucine, tert-leucine,
S-methyl cysteine and the sulfone and sulfoxide
derivatives thereof, alanine, and allo-isoleucine;

20 R² represents alkyl, cycloalkylalkyl and aralkyl
radicals, which radicals are optionally substituted with
halogen radicals and radicals represented by the formula
-OR⁹ and -SR⁹ wherein R⁹ represents alkyl radicals; and

25 R³ represents alkyl, haloalkyl, alkenyl, alkoxyalkyl,
cycloalkyl, cycloalkylalkyl, heterocycloalkyl,
heterocycloalkylalkyl, aryl, aralkyl and heteroaralkyl
radicals;

30 R⁴ represents hydrogen, alkyl, cycloalkyl,
cycloalkylalkyl, aryl, heteroaryl, aralkyl,
heteroaralkyl, heterocycloalkyl and heterocycloalkylalkyl
radicals;

35

R⁷ and R^{7'} independently represent alkyl and aralkyl radicals or together with the carbon atom to which they are attached form a cycloalkyl radical having from 3 to 8 carbon atoms;

5

R⁸ represents alkylcarbonyl, aryl, aroyl, aryloxy, aralkanoyl, cyano, hydroxycarbonyl, arylsulfonyl, alkylsulfonyl, alkylthio, hydroxyl, alkoxy, heteroaryl, dialkylaminocarbonyl, dialkylamino, cycloalkylamino, heterocyclylamino and alkoxy carbonyl radicals; and

10

n is an integer of from 0 to 6.

4. Compound of Claim 2 wherein R represents
alkoxycarbonyl, aralkoxycarbonyl, alkylcarbonyl,
cycloalkylcarbonyl, cycloalkylalkoxycarbonyl,
cycloalkylalkanoyl, alkanoyl, aralkanoyl, aroyl,
aryloxy carbonyl, aryloxy carbonylalkyl, aryloxyalkanoyl,
heterocyclylcarbonyl, heterocyclylloxycarbonyl,
heterocyclylalkanoyl, heterocyclylalkoxycarbonyl,
heteroaralkanoyl, heteroaralkoxycarbonyl, heteroaryloxy-
carbonyl, heteroaroyl, aminocarbonyl, aminoalkanoyl, and
mono- and disubstituted aminocarbonyl and mono- and
disubstituted aminoalkanoyl radicals wherein the
substituents are selected from alkyl, aryl, aralkyl,
cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl,
heterocycloalkyl, heterocycloalkyl radicals, or where
said aminoalkanoyl radical is disubstituted, said
substituents along with the nitrogen atom to which they
are attached form a heterocycloalkyl or heteroaryl
radical;

25

30

R' represents hydrogen and radicals as defined for R³ or
R and R' together with the nitrogen to which they are
attached represent heterocycloalkyl and heteroaryl
radical;

35

- R¹ represents CH₂C(O)NHCH₃, C(CH₃)₂(SCH₃), C(CH₃)₂(S[O]CH₃), C(CH₃)₂(S[O]₂CH₃), methyl, propargyl, t-butyl, isopropyl and sec-butyl radicals, and amino acid
5 side chains selected from the group consisting of asparagine, valine, S-methyl cysteine, allo-iso-leucine, iso-leucine, and beta-cyano alanine side chains;
- R² represents CH₃SCH₂CH₂-, iso-butyl, n-butyl, benzyl,
10 4-fluorobenzyl, 2-naphthylmethyl and cyclohexylmethyl radicals;
- R³ represents propyl, isoamyl, n-butyl, isobutyl, cyclohexyl, cyclohexylmethyl, benzyl and pyridylmethyl
15 radicals;
- R⁴ represents hydrogen and methyl, ethyl, i-propyl, propyl, n-butyl, t-butyl, 1,1-dimethylpropyl, cyclohexyl and phenyl radicals;
20
- R⁷ and R^{7'} independently represent methyl, ethyl, propyl and butyl radicals, or together with the carbon atom to which they are attached form a cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl radical;
25
- R⁸ represents methylcarbonyl, phenyl, hydroxy, methoxy, cyano, methoxycarbonyl, ethoxycarbonyl, isopropoxycarbonyl, t-butoxycarbonyl, benzyloxycarbonyl, carboxyl, methoxycarbonyl, methylsulfonyl, methylthio,
30 phenylsulfonyl, phenyl, 2-, 3- or 4-pyridyl, 2-, 3- or 4-pyridyl N-oxide, N,N-dimethylamino, 1-piperidinyl, 4-morpholinyl, 4-(N-methyl)piperazinyl and 1-pyrrolidinyl; and
- 35 n represents an integer of from 0 to 6.

5. A pharmaceutical composition comprising a compound of Claim 1 and a pharmaceutically acceptable carrier.

5 6. A pharmaceutical composition comprising a compound of Claim 2 and a pharmaceutically acceptable carrier.

10 7. Method of inhibiting a retroviral protease comprising administering a protease inhibiting amount of a composition of Claim 5.

15 8. Method of Claim 7 wherein the retroviral protease is HIV protease.

9. Method of treating a retroviral infection comprising administering an effective amount of a composition of Claim 5.

20 10. Method of Claim 9 wherein the retroviral infection is an HIV infection.

25 11. Method for treating AIDS comprising administering an effective amount of a composition of Claim 5.

30 12. Method of inhibiting a retroviral protease comprising administering a protease inhibiting amount of a composition of Claim 6.

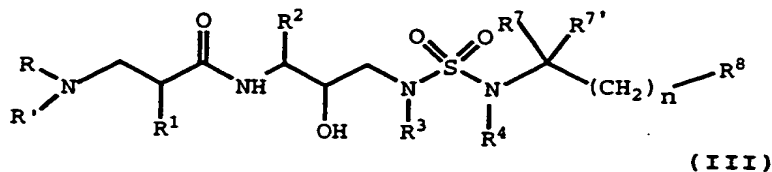
13. Method of Claim 12 wherein the retroviral protease is HIV protease.

35 14. Method of treating a retroviral infection comprising administering an effective amount of a composition of Claim 6.

15. Method of Claim 12 wherein the retroviral infection is an HIV infection.

5 16. Method for treating AIDS comprising administering an effective amount of a composition of Claim 6.

10 17. Compound represented by the formula:



wherein:

15 R represents hydrogen, alkyl, alkenyl, cycloalkyl, aryl, aralkyl, aryloxyalkyl, heteroaryloxyalkyl, hydroxyalkyl, alkoxyacarbonyl, aralkoxyacarbonyl, alkylcarbonyl, cycloalkylcarbonyl, cycloalkylalkoxyacarbonyl, cycloalkylalkanoyl, alkanoyl, aralkanoyl, aroyl, 20 aryloxyacarbonyl, aryloxyacarbonylalkyl, alkoxyalkyl, aryloxyalkanoyl, heterocyclylcarbonyl, heterocyclyloxyacarbonyl, heterocyclylalkanoyl, heterocyclylalkoxyacarbonyl, heteroaralkanoyl, heteroaralkoxyacarbonyl, heteroaryloxy-carbonyl, 25 heteroaroyl, aminocarbonyl, aminoalkanoyl, and mono- and disubstituted aminocarbonyl and mono- and disubstituted aminoalkanoyl radicals wherein the substituents are selected from alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl, 30 heterocycloalkyl, heterocycloalkyalkyl radicals, or where said aminoalkanoyl radical is disubstituted, said substituents along with the nitrogen atom to which they

are attached form a heterocycloalkyl or heteroaryl radical;

5 R' represents hydrogen and radicals as defined for R³ or R and R' together with the nitrogen to which they are attached represent heterocycloalkyl and heteroaryl radical;

10 R¹ represents hydrogen, -CH₂SO₂NH₂, -CH₂CO₂CH₃, -CO₂CH₃, -CONH₂, -CH₂C(O)NHCH₃, -C(CH₃)₂(SH), -C(CH₃)₂(SCH₃), -C(CH₃)₂(S(O)CH₃), -C(CH₃)₂(S(O)₂CH₃), alkyl, haloalkyl, alkenyl, alkynyl and cycloalkyl radicals, and amino acid side chains selected from asparagine, S-methyl cysteine and methionine and the sulfoxide (SO) and sulfone (SO₂) derivatives thereof, isoleucine, allo-isoleucine, alanine, leucine, tert-leucine, phenylalanine, ornithine, histidine, norleucine, glutamine, threonine, glycine, allo-threonine, serine, aspartic acid, beta-cyano alanine and valine side chains;

20 R² represents alkyl, aryl, cycloalkyl, cycloalkylalkyl and aralkyl radicals, which radicals are optionally substituted with a group selected from alkyl and halogen radicals, -NO₂, -C≡N, CF₃, -OR⁹, -SR⁹, wherein R⁹

25 represents hydrogen and alkyl radicals;

30 R³ represents alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, aryl, aralkyl, heteroaralkyl, aminoalkyl and mono- and disubstituted aminoalkyl radicals, wherein said substituents are selected from alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl, heterocycloalkyl, and heterocycloalkylalkyl radicals, or 35 in the case of a disubstituted aminoalkyl radical, said substituents along with the nitrogen atom to which they

are attached, form a heterocycloalkyl or a heteroaryl radical, and thioalkyl, alkylthioalkyl and arylthioalkyl radicals and the sulfone and sulfoxide derivatives thereof;

5

R⁴ represents hydrogen and radicals as defined for R³;

10 R⁷ and R^{7'} independently represent radicals as defined for R³ and amino acid side chains selected from the group consisting of valine, isoleucine, glycine, alanine, allo-
isoleucine, asparagine, leucine, glutamine, and t-butylglycine or R⁷ and R^{7'} together with the carbon atom to which they are attached form a cycloalkyl radical;

15

R⁸ represents cyano, hydroxyl, alkyl, alkoxy, cycloalkyl, aryl, aralkyl, heterocycloalkyl and heteroaryl radicals and radicals represented by the formulas C(O)R¹⁶, CO₂R¹⁶, SO₂R¹⁶, SR¹⁶, CONR¹⁶R¹⁷, CF₃ and NR¹⁶R¹⁷;

20

wherein R¹⁶ and R¹⁷ independently represent hydrogen and radicals as defined for R³, or R¹⁶ and R¹⁷ together with a nitrogen to which they are attached in the formula NR¹⁶R¹⁷ represent heterocycloalkyl and heteroaryl
25 radicals;

n represents an integer of from 0 to 6.

18. Compound of Claim 17 wherein R represents
30 hydrogen, alkoxycarbonyl, aralkoxycarbonyl, alkylcarbonyl, cycloalkylcarbonyl, cycloalkylalkoxy-carbonyl, cycloalkylalkanoyl, alkanoyl, aralkanoyl, aroyl, aryloxy-carbonyl, aryloxy-carbonylalkyl, aryloxyalkanoyl, heterocyclylcarbonyl,
35 heterocyclyloxy-carbonyl, heterocyclylalkanoyl, heterocyclylalkoxy-carbonyl, heteroaralkanoyl,

heteroaralkoxycarbonyl, heteroaryloxy-carbonyl,
heteroaroyl, alkyl, alkenyl, cycloalkyl, aryl, aralkyl,
aryloxyalkyl, heteroaryloxyalkyl, hydroxyalkyl,
aminocarbonyl, aminoalkanoyl, and mono- and disubstituted
5 aminocarbonyl and mono- and disubstituted aminoalkanoyl
radicals wherein the substituents are selected from
alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl,
heteroaryl, heteroaralkyl, heterocycloalkyl,
heterocycloalkylalkyl radicals, or where said
10 aminoalkanoyl radical is disubstituted, said substituents
along with the nitrogen atom to which they are attached
form a heterocycloalkyl or heteroaryl radical;

R' represents hydrogen and radicals as defined for R³ or
15 R and R' together with the nitrogen to which they are
attached represent heterocycloalkyl and heteroaryl
radical;

R¹ represents hydrogen, alkyl, alkenyl and alkynyl
20 radicals, and amino acid side chains selected from the
group consisting of asparagine, valine, threonine, allo-
threonine, isoleucine, tert-leucine, S-methyl cysteine
and the sulfone and sulfoxide derivatives thereof,
alanine, and allo-isoleucine;

25 R² represents alkyl, cycloalkylalkyl and aralkyl
radicals, which radicals are optionally substituted with
halogen radicals and radicals represented by the formula
-OR⁹ and -SR⁹ wherein R⁹ represents hydrogen and alkyl
30 and halogen radicals;

R³ represents alkyl, halalkyl, alkenyl, alkoxyalkyl,
cycloalkyl, cycloalkylalkyl, heterocycloalkyl,
heterocycloalkylalkyl, aryl, aralkyl, heteroaryl and
35 heteroaralkyl radicals;

R⁴ represents hydrogen, alkyl, cycloalkyl, cycloalkylalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl, heterocycloalkyl and heterocycloalkylalkyl radicals;

5

R⁷ and R^{7'} independently represent alkyl and aralkyl radicals or together with the carbon atom to which they are attached form a cycloalkyl radical having from 3 to 8 carbon atoms;

10

R⁸ represents alkylcarbonyl, aryl, aroyl, aryloxy, aralkanoyl, cyano, hydroxycarbonyl, arylsulfonyl, alkylsulfonyl, alkylthio, hydroxyl, alkoxy, heteroaryl, dialkylaminocarbonyl, dialkylamino, cycloalkylamino, heterocyclylamino and alkoxy carbonyl radicals; and

15

n represents an integer of from 0 to 6.

19. Compound of Claim 17 wherein R represents
hydrogen, alkoxy carbonyl, aralkoxy carbonyl, alkyl carbonyl, cycloalkyl carbonyl, cycloalkyl alkoxy carbonyl, cycloalkyl alkanoyl, alkanoyl, aralkanoyl, aroyl, aryloxy carbonyl, aryloxy carbonyl alkyl, aryloxy alkanoyl, heterocyclyl carbonyl, heterocyclyl oxycarbonyl, heterocyclyl alkanoyl, heterocyclyl alkoxy carbonyl, heteroaralkanoyl, heteroaralkoxy carbonyl, heteroaryloxy-carbonyl, heteroaroyl, aminocarbonyl, amino alkanoyl, and mono- and disubstituted aminocarbonyl and mono- and disubstituted amino alkanoyl radicals wherein the substituents are selected from alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl, heterocycloalkyl, heterocycloalkylalkyl radicals, or where said amino alkanoyl radical is disubstituted, said substituents along with the nitrogen atom to which they

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are attached form a heterocycloalkyl or heteroaryl radical;

5 R' represents hydrogen and radicals as defined for R³ or R and R' together with the nitrogen to which they are attached represent heterocycloalkyl and heteroaryl radical;

10 R¹ represents hydrogen, methyl, propargyl, t-butyl, isopropyl and sec-butyl radicals, and amino acid side chains selected from the group consisting of asparagine, valine, S-methyl cysteine, allo-iso-leucine, iso-leucine, threonine, serine, aspartic acid, beta-cyano alanine, and allo-threonine side chains;

15 R² represents CH₃SCH₂CH₂-, iso-butyl, n-butyl, benzyl, 4-fluorobenzyl, 2-naphthylmethyl and cyclohexylmethyl radicals;

20 R³ represents propyl, isobutyl, isoamyl, n-butyl, n-propyl, cyclohexyl, cyclohexylmethyl, benzyl and pyridylmethyl radicals;

25 R⁴ represents hydrogen and methyl, ethyl, i-propyl, n-butyl, t-butyl, 1,1-dimethylpropyl, cyclohexyl and phenyl radicals;

30 R⁷ and R^{7'} independently represent methyl, ethyl, propyl and butyl radicals, or together with the carbon atom to which they are attached form a cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl radical;

35 R⁸ represents methylcarbonyl, phenyl, hydroxy, methoxy, cyano, methoxycarbonyl, ethoxycarbonyl, isopropoxycarbonyl, t-butoxycarbonyl, benzyloxycarbonyl, carboxyl, methoxycarbonyl, methylsulfonyl, methylthio,

phenylsulfonyl, phenyl, 2-, 3- or 4-pyridyl, 2-, 3- or 4-pyridyl N-oxide, N,N-dimethylamino, 1-piperidinyl, 4-morpholinyl, 4-(N-methyl)piperazinyl and 1-pyrrolidinyl; and

5

n represents an integer of from 0 to 6.

20. A pharmaceutical composition comprising a compound of Claim 17 and a pharmaceutically acceptable carrier.

10

21. Method of inhibiting a retroviral protease comprising administering a protease inhibiting amount of a composition of Claim 20.

15

22. Method of Claim 21 wherein the retroviral protease is HIV protease.

23. Method of treating a retroviral infection comprising administering an effective amount of a composition of Claim 20.

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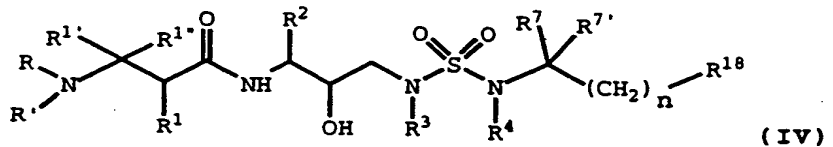
24. Method of Claim 23 wherein the retroviral infection is an HIV infection.

25

25. Method for treating AIDS comprising administering an effective amount of a composition of Claim 20.

30

26. Compound represented by the formula:



wherein:

R represents hydrogen, alkoxy carbonyl, aralkoxy carbonyl, alkyl carbonyl, cycloalkyl carbonyl, cycloalkyl alkoxy carbonyl, cycloalkyl alkanoyl, alkanoyl, aralkanoyl, aroyl, aryloxy carbonyl, aryloxy carbonyl alkyl, alkoxy alkyl, aryloxy alkanoyl, heterocyclyl carbonyl, heterocyclyloxy carbonyl, heterocyclyl alkanoyl, heterocyclyl alkoxy carbonyl, heteroaralkanoyl, heteroaralkoxy carbonyl, heteroaryloxy-carbonyl, heteroaroyl, alkyl, alkenyl, cycloalkyl, aryl, aralkyl, aryloxy alkyl, heteroaryloxy alkyl, hydroxy alkyl, aminocarbonyl, amino alkanoyl, and mono- and disubstituted aminocarbonyl and mono- and disubstituted amino alkanoyl radicals wherein the substituents are selected from alkyl, aryl, aralkyl, cycloalkyl, cycloalkyl alkyl, heteroaryl, heteroaralkyl, heterocycloalkyl, heterocycloalkyl alkyl radicals, or where said amino alkanoyl radical is disubstituted, said substituents along with the nitrogen atom to which they are attached form a heterocycloalkyl or heteroaryl radical;

R' represents hydrogen and radicals as defined for R³ or R and R' together with the nitrogen to which they are attached represent heterocycloalkyl and heteroaryl radical;

R¹ represents hydrogen, -CH₂SO₂NH₂, -CH₂CO₂CH₃, -CO₂CH₃, -CONH₂, -CH₂C(O)NHCH₃, -C(CH₃)₂(SH), -C(CH₃)₂(SCH₃), -C(CH₃)₂(S(O)CH₃), -C(CH₃)₂(S(O)₂CH₃), alkyl, haloalkyl, alkenyl, alkynyl and cycloalkyl radicals, and amino acid side chains selected from asparagine, S-methyl cysteine and methionine and the sulfoxide (SO) and sulfone (SO₂) derivatives thereof, isoleucine, allo-isoleucine, alanine, leucine, tert-leucine, phenylalanine, ornithine, histidine, norleucine, glutamine, threonine, glycine,

allo-threonine, serine, aspartic acid, beta-cyano alanine and valine side chains;

- 5 R^{1'} and R^{1"} independently represent hydrogen and radicals as defined for R¹, or one of R^{1'} and R^{1"}, together with R¹ and the carbon atoms to which R¹, R^{1'} and R^{1"} are attached, represent a cycloalkyl radical;
- 10 R² represents alkyl, aryl, cycloalkyl, cycloalkylalkyl and aralkyl radicals, which radicals are optionally substituted with a group selected from alkyl and halogen radicals; -NO₂, -C≡N, CF₃, -OR⁹ and -SR⁹, wherein R⁹ represents hydrogen and alkyl radicals;
- 15 R³ represents alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, aryl, aralkyl, heteroaralkyl, aminoalkyl and mono- and disubstituted aminoalkyl radicals, wherein said
- 20 substituents are selected from alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl, heterocycloalkyl, and heterocycloalkylalkyl radicals, or in the case of a disubstituted aminoalkyl radical, said
- 25 substituents along with the nitrogen atom to which they are attached, form a heterocycloalkyl or a heteroaryl radical, and thioalkyl, alkylthioalkyl and arylthioalkyl radicals and the sulfone and sulfoxide derivatives thereof;
- 30 R⁴ represents hydrogen and radicals as defined by R³;
- 35 R⁷ and R^{7'} independently represent radicals as defined for R³ and amino acid side chains selected from the group consisting of valine, isoleucine, glycine, alanine, allo-isoleucine, asparagine, leucine, glutamine, and t-butylglycine or R⁷ and R^{7'} together with the carbon

atom to which they are attached form a cycloalkyl radical;

5 R^8 represents cyano, hydroxyl, alkyl, alkoxy, cycloalkyl, aryl, aralkyl, heterocycloalkyl and heteroaryl radicals and radicals represented by the formulas $C(O)R^{16}$, CO_2R^{16} , SO_2R^{16} , SR^{16} , $CONR^{16}R^{17}$, CF_3 and $NR^{16}R^{17}$;

10 wherein R^{16} and R^{17} independently represent hydrogen and radicals as defined for R^3 , or R^{16} and R^{17} together with a nitrogen to which they are attached in the formula $NR^{16}R^{17}$ represent heterocycloalkyl and heteroaryl radicals;

15 n represents an integer of from 0 to 6.

27. Compound of Claim 26 wherein R represents an arylalkanoyl, heteroaryl, aryloxyalkanoyl, aryloxycarbonyl, alkanoyl, aminocarbonyl, mono-
20 substituted aminoalkanoyl, or disubstituted aminoalkanoyl, or mono- or dialkylaminocarbonyl radical;

25 R' represents hydrogen and radicals as defined for R^3 or R and R' together with the nitrogen to which they are attached represent a heterocycloalkyl or heteroaryl radical;

30 R^1 , $R^{1'}$ and $R^{1''}$ independently represent hydrogen and alkyl radicals having from 1 to about 4 carbon atoms, alkenyl, alkynyl, aralkyl radicals, and radicals represented by the formula $-CH_2C(O)R^*$ or $-C(O)R^*$ wherein R^* represents R^{38} , $-NR^{38}R^{39}$ and OR^{38} wherein R^{38} and R^{39} independently represent hydrogen and alkyl radicals having from 1 to about 4 carbon atoms;

35

R² represents alkyl, cycloalkylalkyl and aralkyl radicals, which radicals are optionally substituted with halogen radicals and radicals represented by the formula -OR⁹ and -SR⁹ wherein R⁹ represents hydrogen and alkyl radicals; and

R³ represents alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heterocycloalkylalkyl, aryl, aralkyl, heteroaryl and heteroaralkyl radicals;

R⁴ represents hydrogen, alkyl, cycloalkyl, cycloalkylalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl, heterocycloalkyl and heterocycloalkylalkyl radicals;

R⁷ and R^{7'} independently represent alkyl and aralkyl radicals or together with the carbon atom to which they are attached form a cycloalkyl radical having from 3 to 8 carbon atoms;

R⁸ represents alkylcarbonyl, aryl, aroyl, aryloxy, aralkanoyl, cyano, hydroxycarbonyl, arylsulfonyl, alkylsulfonyl, alkylthio, hydroxyl, alkoxy, heteroaryl, dialkylaminocarbonyl, dialkylamino, cycloalkylamino, heterocyclylamino and alkoxy carbonyl radicals.

28. Compound of Claim 26 wherein R represents an arylalkanoyl, aryloxy carbonyl, aryloxyalkanoyl, heteroaroyl, alkanoyl, aminocarbonyl, mono-substituted aminoalkanoyl, or disubstituted aminoalkanoyl, or mono- or dialkylaminocarbonyl radical;

R' represents hydrogen and radicals as defined for R³ or R and R' together with the nitrogen to which they are

attached represent a heterocycloalkyl or heteroaryl radical;

5 R¹, R^{1'} and R^{1''} independently represent hydrogen, methyl, ethyl, benzyl, phenylpropyl, -C(O)NH₂ and propargyl radicals;

10 R² represents CH₃SCH₂CH₂-, iso-butyl, n-butyl, benzyl, 4-fluorobenzyl, 2-naphthylmethyl and cyclohexylmethyl radicals;

15 R³ represents propyl, isobutyl, isoamyl, n-butyl, n-propyl, cyclohexyl, cyclohexylmethyl, benzyland pyridylmethyl radicals;

R⁴ represents hydrogen and methyl, ethyl, i-propyl, n-propyl, n-butyl, t-butyl, 1,1-dimethylpropyl and phenyl radicals;

20 R⁷ and R^{7'} independently represent methyl, ethyl, propyl and butyl radicals, or together with the carbon atom to which they are attached form a cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl radical;

25 R⁸ represents methylcarbonyl, phenyl, hydroxy, methoxy, cyano, methoxycarbonyl, ethoxycarbonyl, isopropoxycarbonyl, t-butoxycarbonyl, benzyloxycarbonyl, carboxyl, methoxycarbonyl, methylsulfonyl, methylthio, phenylsulfonyl, phenyl, 2-, 3- or 4-pyridyl, 2-, 3- or
30 4-pyridyl N-oxide, N,N-dimethylamino, 1-piperidinyl, 4-morpholinyl, 4-(N-methyl)piperazinyl and 1-pyrrolidinyl.

29. Compound of Claim 26 wherein R⁴ and R⁵
35 together with the nitrogen atom to which they are bonded

form a pyrrolidinyl, piperidinyl, morpholinyl or piperazinyl radical.

30. A pharmaceutical composition comprising, a
5 compound of Claim 26 and a pharmaceutically acceptable carrier.

31. Method of inhibiting a retroviral protease
10 comprising administering a protease inhibiting amount of a composition of Claim 29.

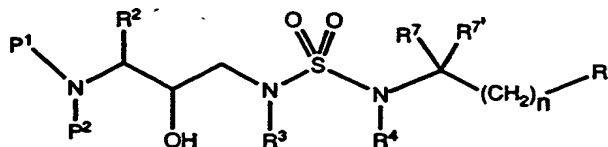
32. Method of Claim 30 wherein the retroviral
protease is HIV protease.

15 33. Method of treating a retroviral infection comprising administering an effective amount of a composition of Claim 29.

20 34. Method of Claim 32 wherein the retroviral infection is an HIV infection.

25 35. Method for treating AIDS comprising administering an effective amount of a composition of Claim 29.

36. A compound represented by the formula:



30 wherein:

p¹ and p² independently represent hydrogen, alkoxy carbonyl, aralkoxy carbonyl, alkyl carbonyl,

cycloalkylcarbonyl, cycloalkylalkoxycarbonyl,
cycloalkylalkanoyl, alkanoyl, aralkanoyl, aroyl,
aryloxycarbonyl, aryloxycarbonylalkyl, aryloxyalkanoyl,
heterocyclylcarbonyl, heterocyclyloxycarbonyl,
5 heterocyclylalkanoyl, heterocyclylalkoxycarbonyl,
heteroaralkanoyl, heteroaralkoxycarbonyl, heteroaryloxy-
carbonyl, heteroaroyl, alkyl, alkenyl, cycloalkyl, aryl,
aralkyl, aryloxyalkyl, heteroaryloxyalkyl, hydroxyalkyl,
aminocarbonyl, aminoalkanoyl, and mono- and disubstituted
10 aminocarbonyl and mono- and disubstituted aminoalkanoyl
radicals wherein the substituents are selected from
alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl,
heteroaryl, heteroaralkyl, heterocycloalkyl,
heterocycloalkylalkyl radicals, or where said
15 aminoalkanoyl radical is disubstituted, said substituents
along with the nitrogen atom to which they are attached
form a heterocycloalkyl or heteroaryl radical;

R² represents alkyl, aryl, cycloalkyl, cycloalkylalkyl
20 and aralkyl radicals, which radicals are optionally
substituted with a group selected from alkyl and halogen
radicals, -NO₂, -C≡N, CF₃, -OR⁹, -SR⁹, wherein R⁹
represents hydrogen and alkyl radicals;

R³ represents hydrogen, alkyl, haloalkyl, alkenyl,
alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl,
cycloalkylalkyl, heterocycloalkyl, heteroaryl,
heterocycloalkylalkyl, aryl, aralkyl, heteroaralkyl,
aminoalkyl and mono- and disubstituted aminoalkyl
30 radicals, wherein said substituents are selected from
alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl,
heteroaryl, heteroaralkyl, heterocycloalkyl, and
heterocycloalkylalkyl radicals, or in the case of a
disubstituted aminoalkyl radical, said substituents along
35 with the nitrogen atom to which they are attached, form a
heterocycloalkyl or a heteroaryl radical, and thioalkyl,

alkylthioalkyl and arylthioalkyl and the sulfone and sulfoxide derivatives thereof;

R⁴ represents hydrogen and radicals as defined by R³;

5

R⁷ and R^{7'} independently represent radicals as defined for R³; amino acid side chains selected from the group consisting of valine, isoleucine, glycine, alanine, allo-isoleucine, asparagine, leucine, glutamine, and t-butylglycine; radicals represented by the formulas -C(O)R¹⁶, -CO₂R¹⁶, -SO₂R¹⁶, -SR¹⁶, -CONR¹⁶R¹⁷, -CF₃ and -NR¹⁶R¹⁷; or R⁷ and R^{7'} together with the carbon atom to which they are attached form a cycloalkyl radical;

10

R⁸ represents cyano, hydroxyl, alkyl, alkoxy, cycloalkyl, aryl, aralkyl, heterocycloalkyl and heteroaryl radicals and radicals represented by the formulas C(O)R¹⁶, CO₂R¹⁶, SO₂R¹⁶, SR¹⁶, CONR¹⁶R¹⁷, CF₃ and NR¹⁶R¹⁷;

15

wherein R¹⁶ and R¹⁷ independently represent hydrogen and radicals as defined for R³, or R¹⁶ and R¹⁷ together with a nitrogen to which they are attached in the formula NR¹⁶R¹⁷ represent heterocycloalkyl and heteroaryl radicals;

20

25

n represents an integer of from 0 to 6.

37. A pharmaceutical composition comprising a compound of Claim 36 and a pharmaceutically acceptable carrier.

30

38. Method of inhibiting a retroviral protease comprising administering a protease inhibiting amount of a composition of Claim 37.

35

39. Method of Claim 38 wherein the retroviral protease is HIV protease.

5 40. Method of treating a retroviral infection comprising administering an effective amount of a composition of Claim 37.

10 41. Method of Claim 39 wherein the retroviral infection is an HIV infection.

42. Method for treating AIDS comprising administering an effective amount of a composition of Claim 37.